

L Number	Hits	Search Text	DB	Time stamp
1	3903	{"514/183, 430, 456"}, CCIS	USPAT	2004/01/31 13:23
2	1618	{"549/23, 362, 396, 406, 407"}, CCIS	USPAT	2004/01/31 13:23
3	427	({"514/183, 430, 456"}, CCIS) and ({"549/23, 362, 396, 406, 407"}, CCIS)	USPAT	2004/01/31 13:24
4	37	({"514/183, 430, 456"}, CCIS) and ({"549/23, 362, 396, 406, 407"}, CCIS) and chromene	USPAT	2004/01/31 13:24
5	21	({"514/183, 430, 456"}, CCIS) and ({"549/23, 362, 396, 406, 407"}, CCIS) and chromene and oxo	USPAT	2004/01/31 13:24

AN 1998:269548 CAPLUS

DN 128:265746

TI (R)-(+)-2-[{[3-(Morpholinomethyl)-2H-chromen-8-yl]oxy}methyl]morpholine

Methanesulfonate: A New Selective Rat 5-Hydroxytryptamine1B Receptor

Antagonist

AU Berg, Stefan; Larsson, Lars-Gunnar; Renyi, Lucy; Ross, Svante B.; Thorberg, Seth-Olof; Thorell-Svantesson, Gun

CS Departments of Medicinal Chemistry Behavioral and Biochemical Pharmacology and Molecular Pharmacology, Preclinical RD, Soedertaelje, S-151 85, Swed.

SO Journal of Medicinal Chemistry (1998), 41(11), 1934-1942

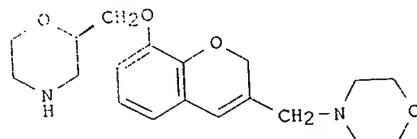
CODEN: JMCMAR; ISSN: 0022-2623

PE American Chemical Society

DT Journal

LA English

GI

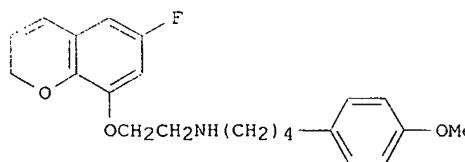
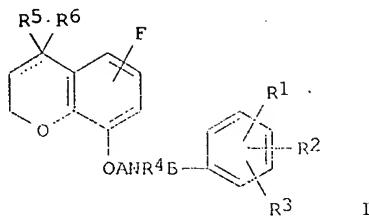


I

AB In the search for new 5-hydroxytryptamine (5-HT) receptor antagonists it was found that the compd. (R)-(+)-2-[{[3-(morpholinomethyl)-2H-chromen-8-yl]oxy}methyl]morpholine methanesulfonate [(R)-I.cntdot.MeSO3H.cntdot.H2O], is a selective rat 5-hydroxytryptamine1B (r5-HT1B) receptor antagonist. The binding profile showed a 6-fold preference for r5-HT1B ($K_i = 47 \pm 5$ nM; $n = 3$) vs bovine 5-HT1B ($K_i = 630$ nM; $n = 1$) receptors. (R)-I.cntdot.MeSO3H.cntdot.H2O had very low affinity for other monoaminergic receptors exampd. The r5-HT1B receptor antagonism was demonstrated by the potentiation of the K⁺-stimulated release of [³H]-5-HT from superfused rat brain slices in vitro, an effect that was antagonized by addn. of 5-HT to the superfusion fluid. (R)-I.cntdot.MeSO3H.cntdot.H2O at 20 mg/kg s.c. enhanced the 5-HT turnover in four rat brain regions (hypothalamus, hippocampus, striatum, and frontal cortex) with about 40% measured as the 5-HTP accumulation after decarboxylase inhibition with 3-hydroxybenzylhydrazine. At 3 mg/kg s.c.

(R)-I.cntdot.MeSO3H.cntdot.H2O produced a significant increase in the no. of wet-dog shakes in rats, a 5-HT2A/5-HT2C response that was abolished by depletion of 5-HT after pretreatment with the tryptophan hydroxylase inhibitor p-chlorophenylalanine. These observations show that (R)-I.cntdot.MeSO3H.cntdot.H2O, by inhibiting terminal r5-HT1B autoreceptors, increases the 5-HT turnover and the synaptic concn. of 5-HT.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB The title compds. I [R1, R2 and R3 represent each lower alkoxy, etc., or R1 and R2 may be combined together to represent $O(CH_2)_mO$ (wherein m is an integer of 1 - 3), etc.; R4 represents hydrogen, lower alkyl or aralkyl; R5 represents hydroxy, amino or lower alkoxy; R6 represents hydrogen or lower alkyl, or CR5R6 = carbonyl; dotted line indicates single or double bond; when dotted line indicates double bond, there is no R5; A represents an ethylene group which may be substituted by lower alkyl; and B represents optionally branched C1-C10 alkylene], useful for treating diseases such as anxiety, manic-depressive state and schizophrenia, sex disorder, eating disorder, sleep disorder, and drug dependence, are prepd. Chromene deriv. II hemifumarate (prepn. given) in vitro showed potent affinity for 5-HT 1A receptor with Ki of 0.159 nM.

Examiner	US- US.
Attorney	Foreign Patent Document
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AN 1995:568450 CAPLUS

DN 122:314453

TI Preparation and formulation of chroman and chromene derivatives
with selective affinity for SHT 1A receptorsIN Yasunaga, Tomoyuki; Kimura, Takenori; Naito, Ryo; Kontani, Toru;
Yamaguchi, Tokio; Wanibuchi, Fumikazu

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9429293	A1	19941222	WO 1994-JP923	19940608
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU	9469361	A1	19950103	JP 1993-138580	19930610
				AU 1994-69361	19940608
				JP 1993-138580	19930610
				WO 1994-JP923	19940608
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NEWS 4 DEC 08 INPADOC: Legal Status data reloaded
NEWS 5 SEP 29 DISSABS now available on STN
NEWS 6 OCT 10 PCTFULL: Two new display fields added
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NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24 MSDS-CCOHS file reloaded
NEWS 10 DEC 08 CABA reloaded with left truncation
NEWS 11 DEC 08 IMS file names changed
NEWS 12 DEC 09 Experimental property data collected by CAS now available in REGISTRY
NEWS 13 DEC 09 STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14 DEC 17 DGENE: Two new display fields added
NEWS 15 DEC 18 BIOTECHNO no longer updated
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer available
NEWS 17 DEC 22 Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19 DEC 22 ABI-INFORM now available on STN
NEWS 20 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAplus

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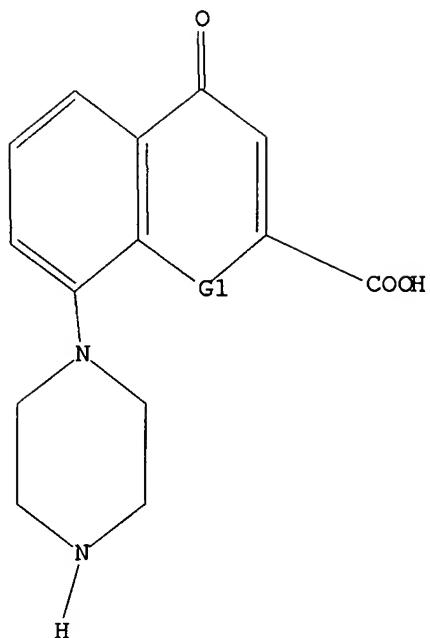
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L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 140 ISS04) (20040123ED)

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| | | |
|----|----------|-------------|
| US | 6667161 | 23 DEC 2003 |
| DE | 10317295 | 24 DEC 2003 |
| EP | 1371658 | 17 DEC 2003 |

JP 2003346928 05 DEC 2003
 WO 2004000750 31 DEC 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s 11 sss full
 FULL SEARCH INITIATED 12:30:34 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 2974 TO ITERATE

100.0% PROCESSED 2974 ITERATIONS 5 ANSWERS
 SEARCH TIME: 00.00.09

L3 5 SEA SSS FUL L1

=> file caold
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
 FULL ESTIMATED COST 109.42 265.05

FILE 'CAOLD' ENTERED AT 12:30:51 ON 31 JAN 2004
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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 12 sss full
 L4 0 L2

=> file caplus
 COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
 FULL ESTIMATED COST 0.42 265.47

FILE 'CAPLUS' ENTERED AT 12:31:05 ON 31 JAN 2004
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FILE COVERS 1907 - 31 Jan 2004 VOL 140 ISS 6
FILE LAST UPDATED: 30 Jan 2004 (20040130/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

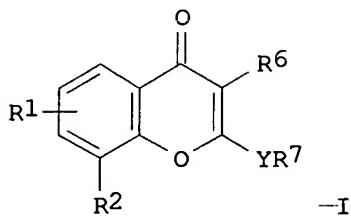
=> s 13
L5 5 L3

=> d 15 fbib hitstr abs total

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:539472 CAPLUS
DN 137:93772
TI Preparation of piperazinylchromenones as 5-HT1B 5-HT1D agonists/antagonists useful as drugs.
IN Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel
PA Astrazeneca Ab, Swed.
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---------------|--|----------|--|------------|
| PI | WO 2002055013 | A2 | 20020718 | WO 2002-SE69 | 20020115 |
| | WO 2002055013 | A3 | 20021114 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | US 2001-262109PP 20010116
SE 2001-3647 A 20011101 | |
| EP 1353914 | A2 | 20031022 | | EP 2002-729623 | 20020115 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | US 2001-262109PP 20010116
SE 2001-3647 A 20011101 | |
| NO 2003003204 | A | 20030902 | | WO 2002-SE69 | W 20020115 |
| | | | | NO 2003-3204 | 20030715 |
| | | | | US 2001-262109PP | 20010116 |
| | | | | SE 2001-3647 | A 20011101 |
| | | | | WO 2002-SE69 | W 20020115 |

OS MARPAT 137:93772
 GI



AB Title compds. [I; R1 = H, thiomethoxy, NHA, NA2, NHCOA, halo, OH, OA, cyano, aryl, (substituted) alkyl, cycloalkyl, etc.; A = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; R2 = (substituted) piperazinyl, homopiperazinyl, aminoalkylamino, aminoheterocyclyl, heterocyclylamino; R6 = H, Me; Y = CONH, CONA, CSNH, CH₂CO, CH₂NA, piperazinylcarbonyl, 5-membered heterocyclene, etc.; R7 = (substituted) mono- or bicyclic aryl, heterocyclyl], were prep'd. Thus, 8-(4-methyl-1-piperazin-1-yl)-4-oxo-4H-chromene-2-carboxylic acid hydrochloride (prepn. given) in DMF/Et₃N was treated sequentially with 1-hydroxybenzotriazole, O-(1H-benzotriazol-1-yl)-N,N,N',N'-pentamethyleneuronium tetrafluoroborate, 4-dimethylaminopyridine, and 4-(4-morpholinyl)aniline (prepn. given) to give 8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo-4H-chromene-2-carboxamide. Several I showed 5-HT1B antagonist activity in the range 0.006-5.5 mg/kg in a screen for reversal of hypothermia in guinea pigs.

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:539471 CAPLUS
 DN **137:109205**
 TI Preparation of 4-oxo-4H-chromene-2-carboxamides and related compounds as antagonists or agonists of serotonin 5HT1B and 5HT1D receptors
 IN Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel
 PA Astrazeneca Ab, Swed.
 SO PCT Int. Appl., 147 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|--|----------|-----------------|----------|
| PI | WO 2002055012 | A2 | 20020718 | WO 2002-SE68 | 20020115 |
| | WO 2002055012 | A3 | 20021114 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, | | | |

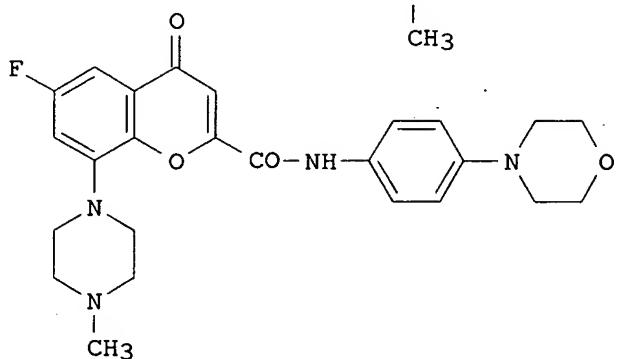
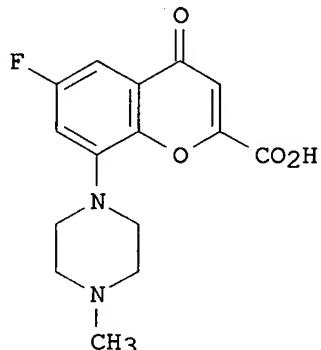
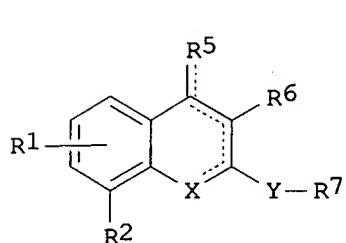
BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
 US 2001-262107PP 20010116
 SE 2001-3650 A 20011101

EP 1353913 A2 20031022 EP 2002-729622 20020115
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2001-262107PP 20010116
 SE 2001-3650 A 20011101
 WO 2002-SE68 W 20020115

US 2003013708 A1 20030116 US 2002-51776 20020116
 US 2001-262107PP 20010116
 SE 2001-3650 A 20011101
 WO 2002-SE68 W 20020115

NO 2003003203 A 20030902 NO 2003-3203 20030715
 US 2001-262107PP 20010116
 SE 2001-3650 A 20011101
 WO 2002-SE68 W 20020115

OS MARPAT 137:109205
 GI



AB Title compds. I and their pharmaceutically acceptable salts [R1 = H, alkyl, cycloalkyl, thiomethoxy, etc.; R2 = NR3R3; R3 independently = H, (un)substituted alkylamine e.g., alkyl, alkenyl, alkynyl, amino-heterocycle, etc; R3-R3 = (un)substituted cycloalkylamine or amino-heterocycle e.g., alkyl, alkenyl, alkynyl, etc; R5 = H, O, S, etc.; R6 = H, Me; R7 = (un)substituted mono- or bicyclo- arom., (un)substituted heterocycle; X = O, N, NH, S; Y = CONH, NHCO, CSNH, etc.] were prep'd with the proviso that multiple bonds are sepd. from each other by at least one

single bond. For example, condensation of 4-oxo-4H-chromene-2-carboxylic acid II e.g., prep'd. from diethylacetylenedicarboxylate and 2-bromo-4-fluorophenol in 5 steps, and 4-morpholin-4-yl-phenylamine provided preferred 4-oxo-4H-chromene-2-carboxamide III. The utility of the compds. of the present invention were tested using a guinea pig hypothermia test, ED50 values for compds. I range from 0.006-5.5 mg/kg. Compds. I are disclosed to be antagonists or agonists of serotonin 5HT1B and 5HT1D receptors (no data provided). Also I are claimed for use in the treatment of gastrointestinal disorders, cardiovascular regulation, motor disorders, etc..

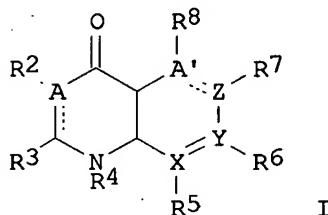
L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:209909 CAPLUS
 DN 132:241974
 TI Method for solubilizing pyridonecarboxylic acid, solubilizer therefor, aqueous solution preparations containing pyridonecarboxylic acid and process for producing the same
 IN Sawa, Shirou
 PA Senju Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 21 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|-----------|---|------|----------|---|--|--|
| PI | WO 2000016774 | A1 | 20000330 | WO 1999-JP4992 | 19990913 | |
| | W: CA, JP, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | JP 1998-265523 A
CA 1999-2310433
JP 1998-265523 A
WO 1999-JP4992 W | 19980918
19990913
19980918
19990913 | |
| | CA 2310433 | AA | 20000330 | EP 1999-943315 | 19990913 | |
| | EP 1044688 | A1 | 20001018 | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | JP 1998-265523 A
WO 1999-JP4992 W
US 2000-554660
JP 1998-265523 A
WO 1999-JP4992 W | 19980918
19990913
20000518
19980918
19990913 |
| OS | MARPAT 132:241974 | | | | | |
| AB | A method for solubilizing pyridonecarboxylic acid or a pharmacol. acceptable salt thereof is characterized by blending glycyrrhizinic acid or its salt with pyridonecarboxylic acid or a pharmacol. acceptable salt thereof. Disclosed is an aq. soln. contg. the thus solubilized pyridonecarboxylic acid or a salts thereof. By using the above solubilization method, the solv. of a pyridonecarboxylic acid compd. or its salt can be elevated at around the physiol. pH value thereof, which makes it possible to prep. aq. soln. preps. to be used mainly as eye drops, nasal drops, ear drops, etc. An ear drop soln. (pH 7.0) contained lomefloxacin.cntdot.HCl 0.3, dipotassium glycyrrhizinate 0.1, boric acid 1.6 g, NaOH q.s., HCl q.s., and distd. water q.s. to 100 mL. | | | | | |
| RE.CNT 12 | THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT | | | | | |

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:527058 CAPLUS
 DN 129:153244
 TI Method for stabilizing arylcarboxylic acids with heterocyclic bases
 IN Sawa, Shirou
 PA Senju Pharmaceutical Co., Ltd., Japan
 SO Eur. Pat. Appl., 21 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|---------------|------|----------|-----------------|------------|
| PI | EP 856310 | A2 | 19980805 | EP 1998-101804 | 19980203 |
| | EP 856310 | A3 | 20000119 | | |
| | EP 856310 | B1 | 20031112 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | | |
| | US 6274592 | B1 | 20010814 | JP 1997-21805 | A 19970204 |
| | CA 2228536 | AA | 19980804 | US 1998-17626 | 19980202 |
| | JP 10279503 | A2 | 19981020 | JP 1997-21805 | A 19970204 |
| | AT 253891 | E | 20031115 | CA 1998-2228536 | 19980203 |
| | US 2001056098 | A1 | 20011227 | JP 1997-21805 | A 19970204 |
| | | | | JP 1998-22363 | 19980203 |
| | | | | JP 1997-21805 | A 19970204 |
| | | | | AT 1998-101804 | 19980203 |
| | | | | JP 1997-21805 | A 19970204 |
| | | | | US 2001-885096 | 20010621 |
| | | | | JP 1997-21805 | A 19970204 |
| | | | | US 1998-17626 | A319980202 |

OS MARPAT 129:153244
 GI



AB An antiinflammatory arylcarboxylic acid, e.g. pranoprofen, is stabilized in aq. soln. at all temps. by adding a heterocyclic base [I; A, A', X = C, N; Y, Z = C, or YZ = CH; R2-R8 = H, halo, CO₂H, (substituted) alkyl, (substituted) cycloalkyl, (substituted) acyl, (substituted) aryl, (substituted) heterocycle; R4R5 and R6R7 may complete heterocyclic rings]. Such aq. solns. can be used as eye drops, nasal drops, ear drops, etc. Thus, an aq. soln. contg. pranoprofen 0.5 and H₃BO₃ 1.6 wt.% was stabilized during storage at 4, 60, and 80.degree. for 1-4 wk by addn. of 0.3 wt.% caffeine.

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:748455 CAPLUS

DN 126:31277

TI Quinoline derivatives useful as endothelin receptor antagonists, process for their preparation, the resultant intermediates, their use as medicaments, and pharmaceutical compositions containing them

IN Hawsslein, Jean-Luc

PA Roussel-UCLAF, Fr.; Haesslein, Jean-Luc

SO PCT Int. Appl., 72 pp.

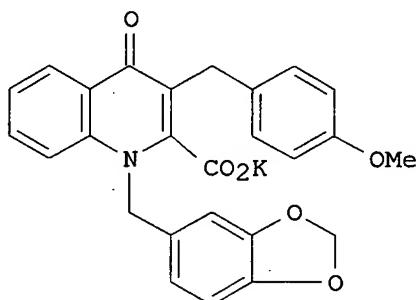
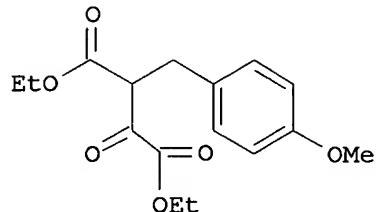
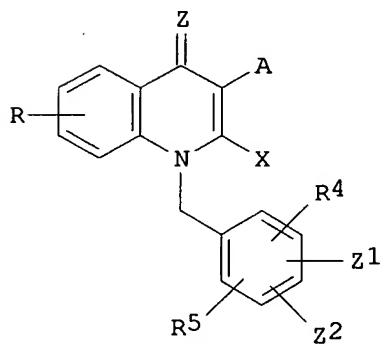
CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE. |
|----|--|------|----------|-----------------|----------|
| PI | WO 9633190 | A1 | 19961024 | WO 1996-FR591 | 19960418 |
| | W: JP, US | | | FR 1995-4722 | 19950420 |
| | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | FR 2733233 | A1 | 19961025 | FR 1995-4722 | 19950420 |
| | FR 2733233 | B1 | 19970530 | | |
| OS | MARPAT 126:31277 | | | | |
| GI | | | | | |



AB The invention concerns compds. I and their isomers and addn. salts [wherein A = H or CH₂B; B = alkyl, C₆H₃R₁R₂R₃, (un)substituted 3-pyridyl, cyclohexyl, or 2-furyl; Z₁, Z₂ = H, or together form fused carbo- or heterocyclic (O, S, N, NH) ring; Z = O or S; X = CO₂H or derivs.,

tetrazolyl, CONHSO₂R₆; R₆ = (un)substituted alkyl, alkenyl or Ph; R = H, halo, OH, SH, CO₂H, alkyl, phenylthioalkyl, alkoxy, Ph, naphthyl, PhCH₂, PhCH₂CH₂, various heterocycles, and PhS, most of which may be substituted; R₁-R₅ = H, halo, OH, alkyl, alkoxy, cyano, NO₂, etc.; or R₂R₃ may likewise form the rings formed by Z₁ and Z₂, with the proviso that when A = H, then Z₁Z₂ form ring]. I are endothelin receptor antagonists, useful for treatment of vascular spasms, renal insufficiency, atherosclerosis, hypertension, asthma, osteoporosis, etc. For example, the intermediate II (prep. given) underwent a sequence of condensation with aniline, thermal cyclization to a dihydroquinolone, N-alkylation with piperonyl bromide, and hydrolysis with aq. ethanolic KOH, to give title potassium salt III. In tests for inhibition of endothelin receptors A and B in vitro, III had IC₅₀ values of 10.6 nM and 606 nM, resp.

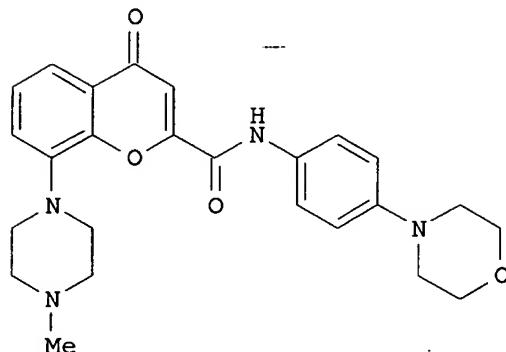
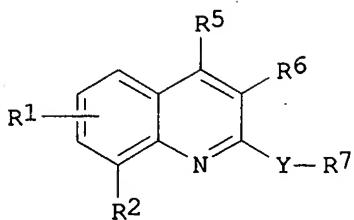
=> s chromene and 5HT
L6 0 CHROMENE AND 5HT

=> s chromene and 5HT
L7 5 CHROMENE AND 5HT

=> d 17 fbib hitstr abs total

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:356424 CAPLUS
DN 138:368765
TI Preparation of 4-oxo-4H-chromene-2-carboxamides and
4-oxo-1,4-dihydroquinoline-2-carboxamides as 5-HT antagonists for
treatment of psychiatric disorders
IN Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler,
Carey; McCauley, John; Pierson, Edward; Sohn, Daniel
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|--|----------|-----------------|------------|
| PI | WO 2003037872 | A1 | 20030508 | WO 2002-SE1989 | 20021101 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG | | | |
| OS | MARPAT | 138:368765 | | SE 2001-3649 | A 20011101 |
| GI | | | | | |



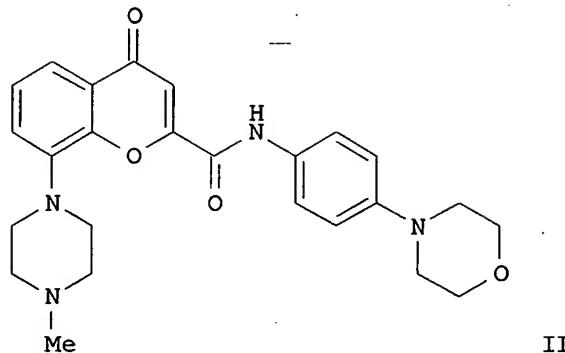
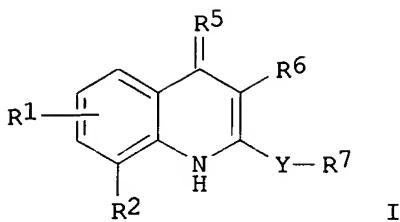
AB Quinolines I [wherein R1 = independently H, halo, OH, CN, MeO, MeS, NHA, NA2, NHCOA, CONH2, CONHA, CONA2, OA, aryl, or (un)substituted (cyclo)alkyl; R2 = NR3(CH2)nN(R3)2, QN(R3)2, NR3QR3, or (un)substituted piperazinyl, homopiperazinyl, or 1,4-diazacyclooctyl; R3 = H, AOH, or (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; R4 = H or (un)substituted alkyl; R5 = O, OR4, N(R4)2 or SR4; R6 = H or Me; R7 = (un)substituted aryl or heterocyclyl; R8 = CH2, CO, SO2, SO2NH, CONH, O, S, SO, or heterocyclyl connected to R7 by a ring fusion or single bond; A = (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; Q = heterocyclyl; Y = CONH, CONA, NHCO, CSNH, CH2NH, COCH2, CH2CO, CO-piperazinediyl, COR8, NACO, CSNA, CH2NA, NACH2, or 5-membered heterocyclyl] are disclosed as 5-HT1B and 5-HT1D antagonists. Related 4-oxo-4H-chromene-2-carboxamides and 4-oxo-1,4-dihydroquinoline-2-carboxamides were prep'd. and tested for biol. activity. For example, reaction of di-Et acetylenedicarboxylate with 2-bromophenol in the presence of a catalytic amt. of tetrabutylammonium fluoride afforded 2-(2-bromophenoxy)but-2-enedioic acid di-Et ester (91%), which was saponified with NaOH to give the diacid (88%). Cyclization using H2SO4 in EtOH provided Et 8-bromo-4-oxo-4H-chromene-2-carboxylate (24%). Pd-catalyzed substitution with N-methylpiperazine (70%), conversion to the HCl salt of the acid (100%), and amidation with 4-(4-morpholinyl)aniline in the presence of HOEt and TBTU in DMF and TEA gave II. All example compds. showed affinity for 5-HT1B and 5-HT1D receptors with Ki values of < 10 .mu.M. II was among twelve example compds. which reversed 5-HT1B agonist-induced hypothermia in guinea pigs in a dosage range of 0.006 mg/kg - 5.5 mg/kg. In addn., four chromenones demonstrated activity in a learned helplessness assay for antidepressant/antianxiety activity. They are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:356423 CAPLUS
 DN 138:368764
 TI Preparation of 4-oxo-4H-**chromene**-2-carboxamides and
 4-oxo-1,4-dihydroquinoline-2-carboxamides as 5-HT antagonists for
 treatment of psychiatric disorders
 IN Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler,
 Carey; Pierson, Edward; Sohn, Daniel; McCauley, John
 PA AstraZeneca AB, Swed.
 SO PCT Int. Appl., 137 pp.
 CODEN: PIXXD2
 DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|--|----------|-----------------|------------|
| PI | WO 2003037871 | A1 | 20030508 | WO 2002-SE1987 | 20021101 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG | | | |
| OS | MARPAT | 138:368764 | | SE 2001-3648 | A 20011101 |
| GI | | | | | |



AB Title quinolinones I [wherein R1 = H, halo, OH, CN, MeO, MeS, NHA, NA2, NHCOA, CONH2, CONHA, CONA2, OA, aryl, or (un)substituted (cyclo)alkyl; R2 = NR3(CH2)nN(R3)2, QN(R3)2, NR3QR3, or (un)substituted piperazinyl, homopiperazinyl, or 1,4-diazacyclooctyl; R3 = H, AOH, or (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; R4 = H or (un)substituted alkyl; R5 = O, NR4, or S; R6 = H or Me; R7 = (un)substituted aryl or heterocyclyl; R8 = CH2, CO, SO2, SO2NH, CONH, O, S, SO, or heterocyclyl connected to R7 by a ring fusion or single bond; A = (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; Q = heterocyclyl; Y = CONH, CONA, NHCO, CSNH, CH2NH, COCH2, CH2CO, CO-piperazinediyl, COR8, NACO, CSNA, CH2NA, NACH2, or 5-membered heterocyclyl] and related chromenones were prepd. as 5-HT1B and 5-HT1D antagonists. For example, reaction of di-Et acetylenedicarboxylate with 2-bromophenol in the presence of a catalytic amt. of tetrabutylammonium fluoride afforded 2-(2-bromophenoxy)but-2-enedioic acid di-Et ester (91%), which was saponified with NaOH to give the diacid (88%). Cyclization using H2SO4 in EtOH provided Et 8-bromo-4-oxo-4H-chromene-2-carboxylate (24%). Pd-catalyzed substitution with N-methylpiperazine (70%), conversion to the HCl salt of the acid (100%), and amidation with 4-(4-morpholinyl)aniline in the presence of HOBt and TBTU in DMF and TEA gave II. All example compds. showed affinity for 5-HT1B and 5-HT1D receptors with Ki values of < 10 .mu.M. II was among twelve example compds. which reversed 5-HT1B agonist-induced hypothermia in guinea pigs in a dosage range of 0.006 mg/kg - 5.5 mg/kg. In addn., four chromenones demonstrated activity in a learned helplessness assay for antidepressant/antianxiety activity. Thus, I are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:693264 CAPLUS
 DN 135:257269
 TI Preparation of N-heterocyclyl amide compounds as 5-HT antagonists
 IN Yamada, Akira; Tomishima, Masaki; Hayashida, Hisashi; Imanishi, Masashi;
 Spears, Glen W.; Ito, Kiyotaka; Takahashi, Fumie; Miyake, Hiroshi
 PA Fujisawa Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 239 pp.
 CODEN: PIXXD2

DT Patent
 LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|------------|
| PI | WO 2001068585 | A1 | 20010920 | WO 2001-JP1993 | 20010313 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | JP 2000-70127 | A 20000314 |
| | | | | JP 2000-305947 | A 20001005 |
| AU | 2001041128 | A5 | 20010924 | AU 2001-41128 | 20010313 |
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| | | | | JP 2000-305947 | A 20001005 |
| | | | | WO 2001-JP1993 | W 20010313 |
| EP | 1264820 | A1 | 20021211 | EP 2001-912338 | 20010313 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | JP 2000-70127 | A 20000314 |
| | | | | JP 2000-305947 | A 20001005 |
| | | | | WO 2001-JP1993 | W 20010313 |

OS CASREACT 135:257269; MARPAT 135:257269
 AB Amides compds. represented by the general formula R1-A-X-NHCO-Y-R2
 [wherein R1 is an optionally substituted heterocyclic group or optionally substituted phenyl; R2 is optionally substituted fused Ph, optionally substituted Ph, or optionally substituted thienyl; A is a group represented by the formula -(CH₂)_t-(O)_m- or -(CR₃R₄)_pNR₅(CO)_n- (wherein R₃ and R₄ each is hydrogen or R₃ and R₄ in combination form imino; R₅ is hydrogen or lower alkyl; t is 0, 1, or 2; and p, m, and n each is 0 or 1); X is optionally substituted phenylene or an optionally substituted, divalent, nitrogenous heterocyclic group; and Y is a bond, lower alkylene, or lower alkenylene] and salts thereof are prep'd. Theses amides include phenylacetamide, cinnamides, 1H-indole-7-carboxamides, 3-(2-pyridyl)-2-propenamides, 5-phenyl-2-thiophenecarboxamides, 9H-carbazolecarboxamides, 3-phenyl-2-propenamides, 9H-fluorene-1-carboxamides, 2,3-dihydrobenz[b]oxepine-4-carboxamides, 1H-benzo[b]thiepin-4-carboxamides, and 3-(1H-indol-3-yl)-2-propenamides. They are antagonists of 5-hydroxytryptamine (5-HT), in particular 5-HT_{2c}, and are useful for the treatment of 5-HT-mediated diseases such as (1) central nervous system disorders in including anxiety, depression, obsessive-compulsive neurosis, migraine headache, anorexia, Alzheimer's disease, sleep disorder, over-eating, and panic, (2) withdrawal symptom